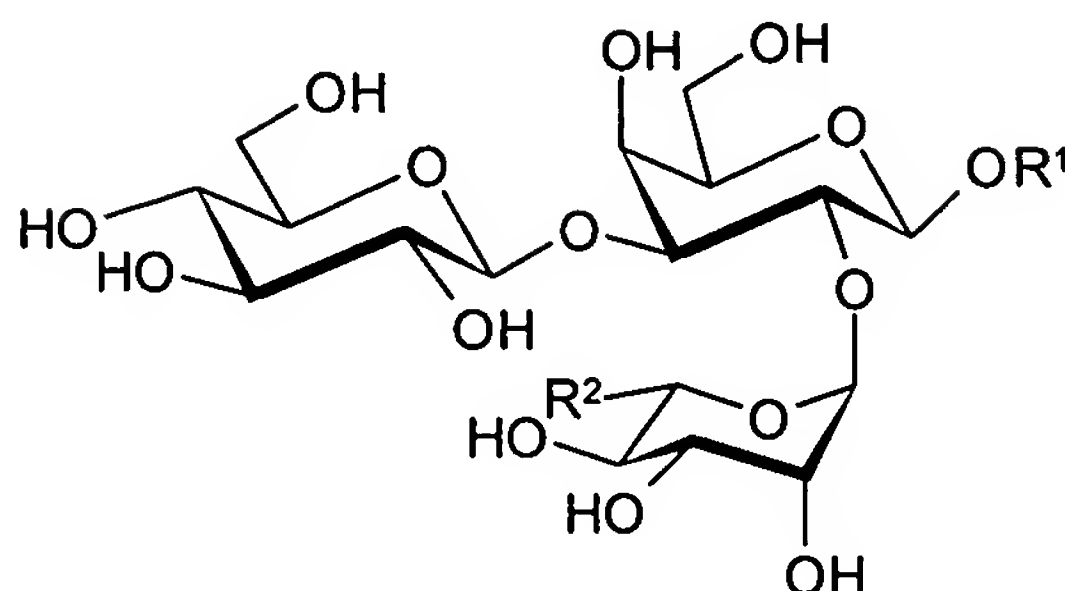


Claims

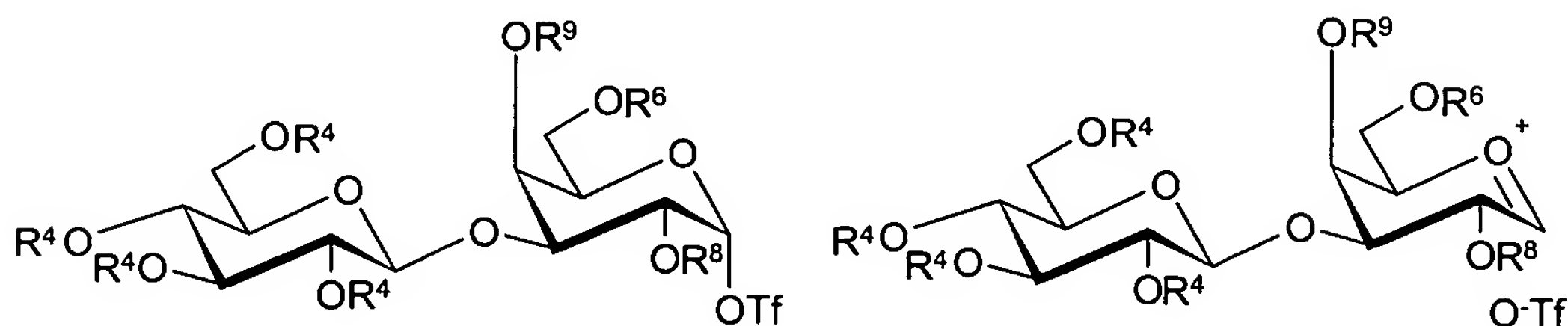
1. A method for the preparation of a steroid modified solatriose of general formula (I):



Formula (I)

wherein R^1 represents a steroid or a derivative thereof having a hydroxyl group in 3-position and no further unprotected hydroxyl groups; and R^2 represents a straight or branched C_{1-4} alkyl group or a hydroxyl group, which method comprises the step of:

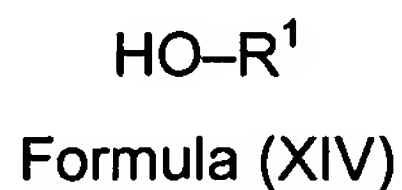
reacting a compound of general formula (XIII):



Formula (XIII)

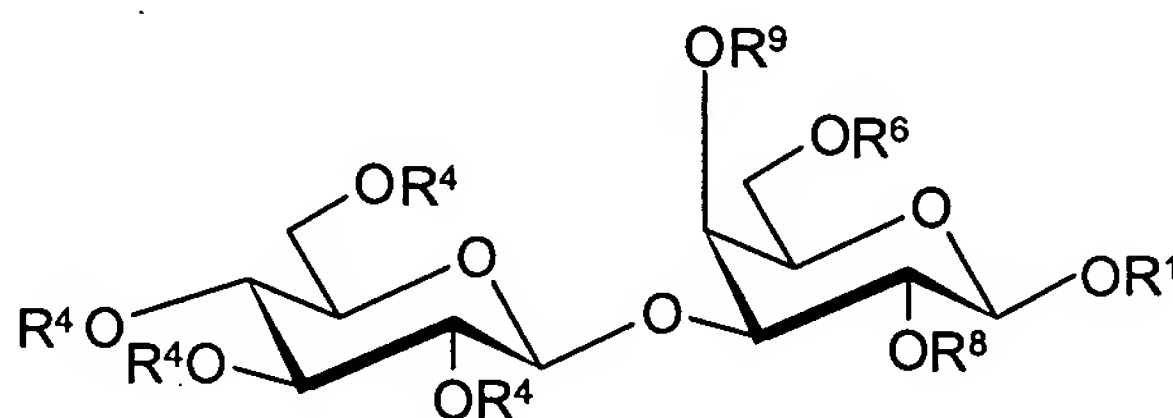
wherein each R^4 independently represents a benzoyl, acetyl or pivoyl protecting group; R^6 represents a pivoyl protecting group; R^8 represents a chloroacetyl protecting group; R^9 represents a benzoyl, acetyl or pivoyl protecting group; and Tf represents a triflate leaving group;

5 with a compound of general formula (XIV):



wherein R^1 is as defined above
to yield a compound of general formula (XV):

10



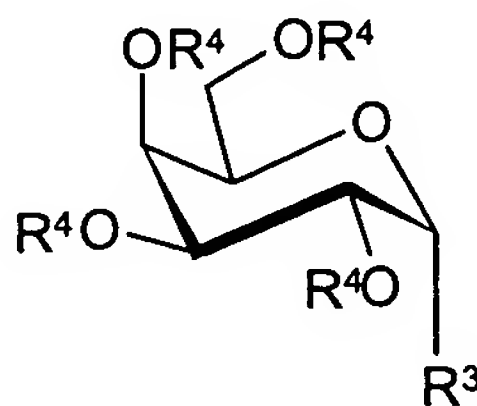
Formula (XV)

wherein R^1 , R^6 , R^8 and R^9 are as defined above.

15

2. The method according to claim 2, further comprising the step of:
reacting galactose to yield a galactose fully protected with ester type protecting groups, and subsequently treating with hydrogen bromide or hydrogen chloride to yield a compound of general formula (II):

20



Formula (II)

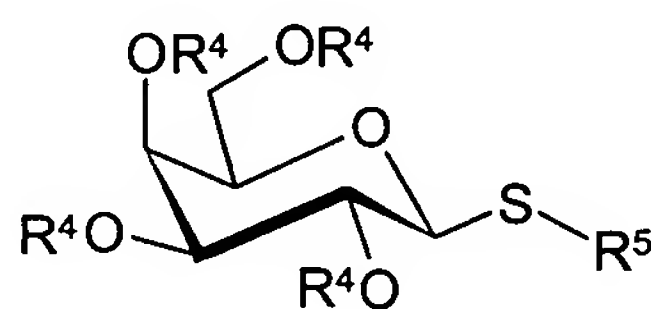
wherein R^3 represents a chlorine or bromine atom; and R^4 is as defined in claim 1.

3. The method according to claims 1 or 2, further comprising the step:
5 reacting a compound of general formula (II) as defined in claim 2, with a compound of general formula (III):



Formula (III)

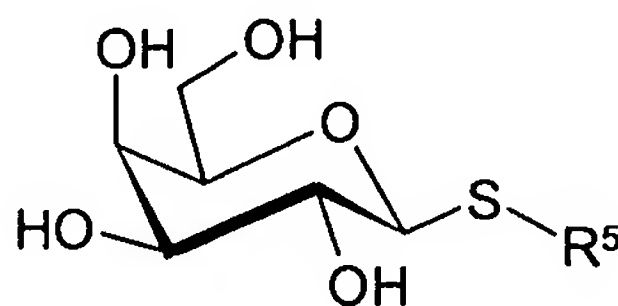
10 wherein R^5 represents a straight or branched C_{1-14} alkyl group or a phenyl group optionally substituted with one or more C_{1-4} alkyl groups whereby the C_{1-14} alkyl groups are preferably selected from methyl, ethyl and propyl and the phenyl group is preferably selected from phenyl, p-methylphenyl and p-chlorophenyl; and methyl, ethyl and propyl are particularly preferred;
to yield a compound of general formula (IV):



Formula (IV)

wherein R^4 is as defined in claim 1, and R^5 is as defined above.

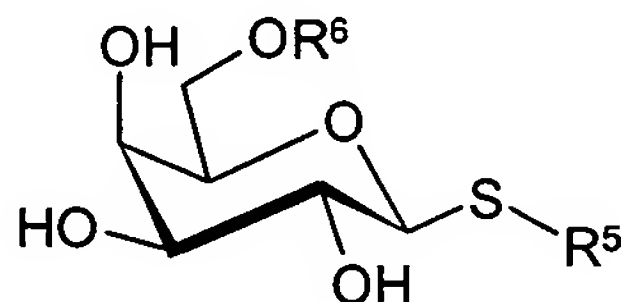
4. The method according to any of claims 1 to 3, further comprising the step of:
deprotecting a compound of general formula (IV) as defined in claim 3 to yield a compound of general formula (V):



Formula (V)

wherein R^5 is as defined in claim 3.

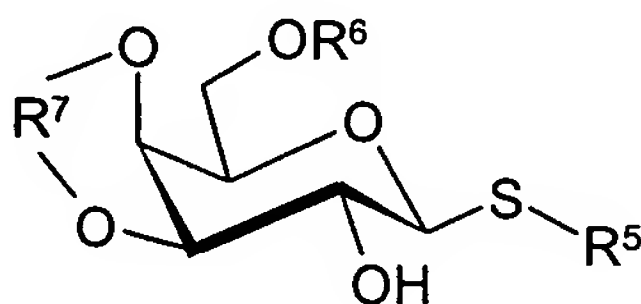
5. The method according to any of claims 1 to 4, further comprising the step of:
selectively protecting the OH group in the 6-position of a compound of formula
(V) as defined in claim 4 with pivoyl chloride using standard conditions to yield a
compound of general formula (VI):



Formula (VI)

- wherein R^5 in claim 3; and R^6 is a pivoyl, benzoyl or substituted benzoyl
protecting group, whereby the substituents are selected from alkyl groups such
as methyl, halogen atoms such as Cl, Br, F, and I and NO_2 .

6. The method according to any of claims 1 to 5, further comprising the step of:
selectively protecting the OH groups in 3- and 4-position with a ketal or acetal
protecting type protecting group using standard conditions, to yield a compound
of general formula (VII):

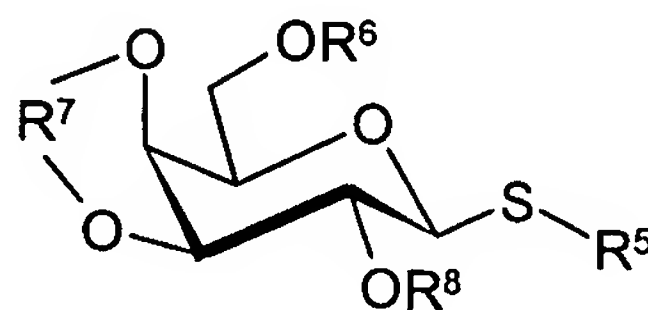


Formula (VII)

- wherein R^5 and R^6 are as defined in claims 3 and 5, respectively; and R^7
represents a ketal or acetal type protecting group selected from the group
consisting of benzylidene, 4-nitrobenzylidene, 4-methoxybenzylidene and
isopropylidene.

7. The method according to any of claims 1 to 6, further comprising the step of:

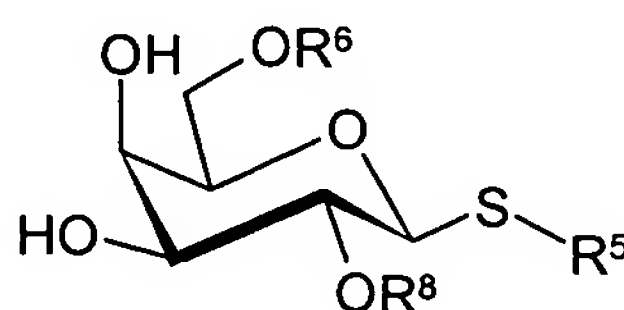
protecting the OH group in 2-position of the compound of general formula (VII) as defined in claim 6 with chloroacetyl chloride using standard conditions, to yield a compound of general formula (VIII):



Formula (VIII)

wherein R^5 , R^6 and R^7 are as defined in claims 3, 5 and 6, respectively; and R^8 represents a chloroacetyl protecting group.

8. The method according to any of claims 1 to 7, further comprising the step of: selectively deprotecting the OH group in 3- and 4-position of the compound of general formula (VIII) as defined in claim 7 using standard conditions, to yield a compound of general formula (IX):

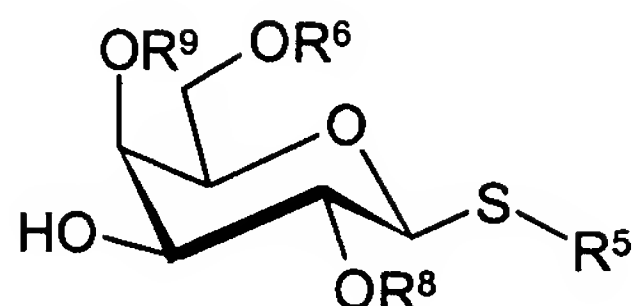


Formula (IX)

wherein R^5 , R^6 , and R^8 are as defined in claims 3, 5 and 7, respectively.

9. The method according to any of claims 1 to 8, further comprising the step of: reacting the compound of general formula (IX) with a trialkylorthoacetate, benzoate or pivalate to form an 3,4-orthor ester which is subsequently

migrated to the axial 4-position under acidic conditions to yield a compound of general formula (X):

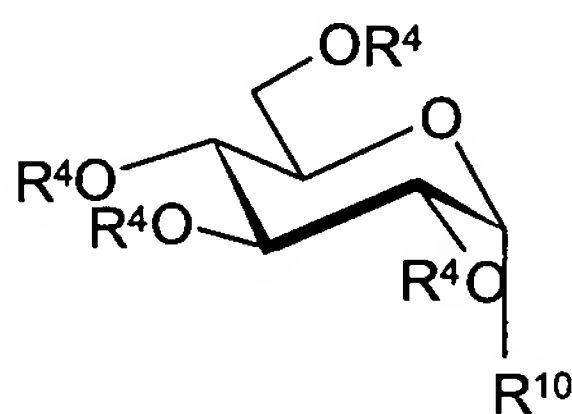


5

Formula (X)

wherein R^5 , R^6 , R^8 and R^9 are as defined in claims 3, 5, 7 and 1 respectively.

- 10 10. The method according to any of claims 1 to 9, further comprising the step of:
reacting the OH group in 3-position of the compound of general formula (X) as
defined in claim 9 with a protected halogen glucose derivative of general formula
(XI):

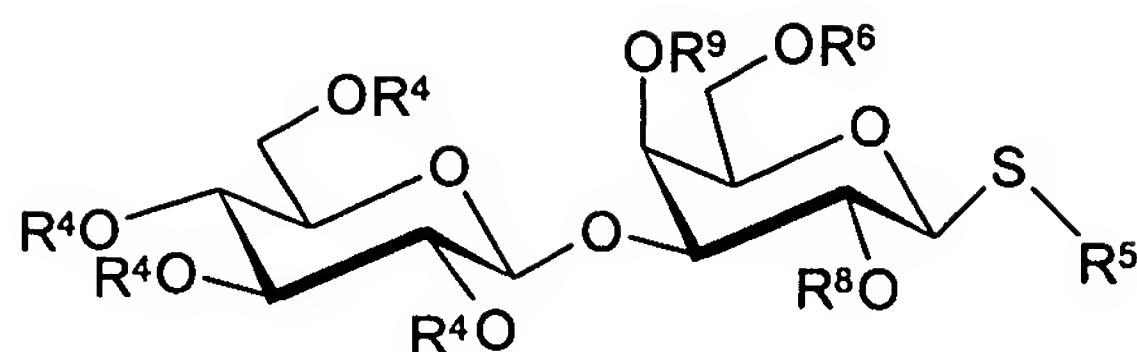


15

Formula (XI)

wherein R^4 is as defined in claim 1; and R^{10} represent a halogen atom, a
trichloroacetimidate group, or a thioalkyl group having 1 to 14 carbon atoms, to
yield a compound of general formula (XII):

20

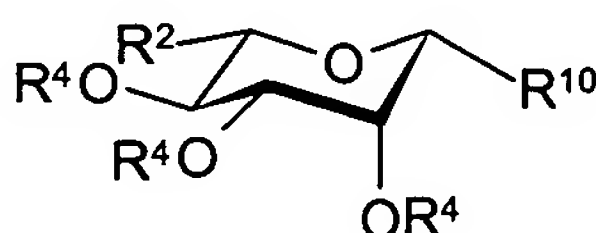


Formula (XII)

wherein R^4 , R^5 , R^6 , R^8 and R^9 are as defined in claims 1, 3, 5, 7 and 9, respectively.

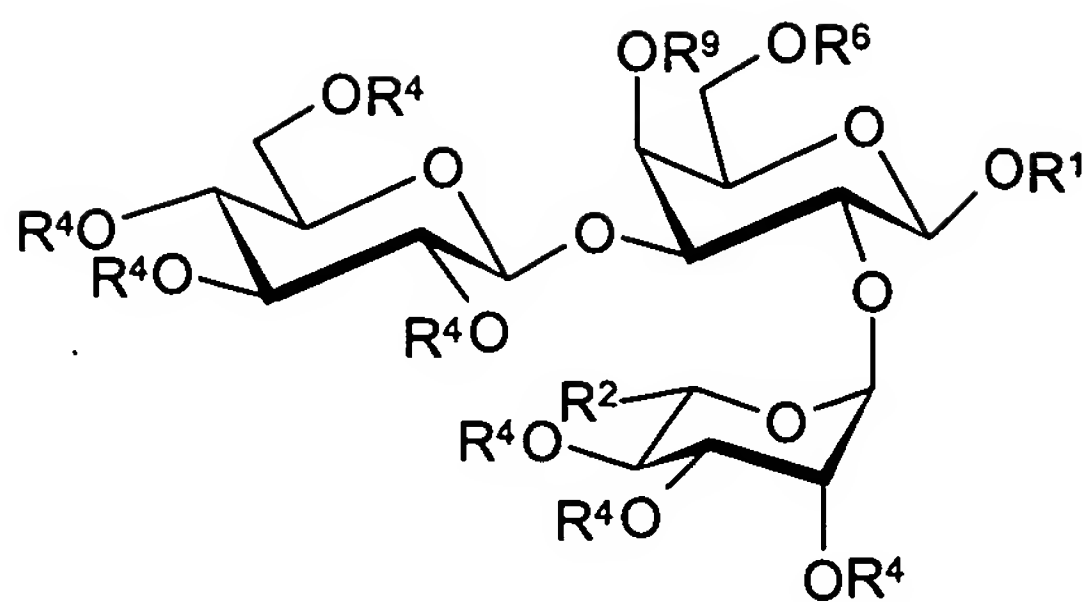
11. The method according to any of claims 1 to 10, further comprising the step of: activating the compound of general formula (XII) as defined in claim 10 by oxidizing the thio ether group to a sulfoxide using hydrogen peroxide, and subsequently treating the resulting intermediate with triflic anhydride, to yield a compound of general formula (XIII) as defined in claim 1.

12. The method according to any of claims 1 to 13, further comprising the step of: selectively deprotecting the OH group in the 2-position of the compound for general formula (XV) as defined in claim 1 using thio urea in the presence of a sterically hindered non-nucleophilic base, and subsequently reacting the resulting intermediate with a protected halogen rhamnose derivative of general formula (XVI):



Formula (XVI)

wherein R^2 , R^4 and R^{10} are as defined in claims 1 and 10, respectively; to yield a compound of general formula (XVII):



Formula (XVII)

wherein R^1 , R^2 , R^4 , R^6 , and R^9 are as defined in claims 1, 5 and 9, respectively.

- 5 13. The method according to any of claims 1 to 12, further comprising the step of:
deprotecting the compound of general formula (XVII) as defined in claim 12, to
yield the compound of general formula (I) as defined in claim 1.
14. The method according to any of the preceding claims, wherein R^1 represents a
10 tomatidin-3-yl, demissidin-3-yl, solanidin-3-yl and solasodin-3-yl group.
15. The method according to claims any of the preceding claims, wherein R^2
represents a methyl group.
- 15 16. The method according to any of the preceding claims, wherein R^3 in the
compound of general formula (II) represents a bromine atom.
17. The method according to any of the preceding claims, wherein R^4 in the
compound of general formula (II) represents an acetyl protecting group.
- 20 18. The method according to any of the preceding claims 1, wherein R^5 in the
compound of general formula (III) represents a phenyl group.
19. The method according to any of the preceding claims, wherein R^7 in the
25 compound of general formula (VII) represents a isopropylidene protecting group.
20. The method according to any of the preceding claims, wherein R^4 in the
compounds of general formula (XI) and/or compound of general formula (XVI)
represents a benzoyl protecting group.

21. The method according to any of the preceding claims, wherein reacting a compound of general formula (XIII) with a compound of general formula (XIV) is carried out in the presence of sterically hindered non-nucleophilic base.

5

22. The method according to claim 21, wherein the sterically hindered non-nucleophilic base is selected from 2,6-lutidine, 2,4,6-collidine or 2,6-di-tertbutyl-4-methyl pyridine.

10 23. A steroid modified solatriose of general formula (I) as defined in claims 1 or 15, wherein R¹ represents a tomatidin-3-yl or demissidin-3-yl group.

24. A compound of general formula (XVII) as defined in claims 12 or 15.

15 25. A compound of general formula (XV) as defined in claims 1 and 15.

26. A compound of general formula (X) as defined in claim 9.

27. A compound of general formula (XII) as defined in claim 10.

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